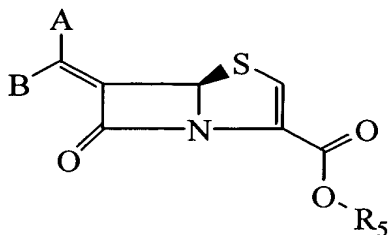


WHAT IS CLAIMED IS:

1. A process for the preparation of compounds of the formula I



5

I

wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R_2 , heteroaryl optionally substituted with one or two R_2 , fused bicyclic heteroaryl optionally substituted with one or two R_2 , fused tricyclic heteroaryl optionally substituted with one or two R_2 , cycloalkyl optionally substituted with one or two R_2 , alkyl optionally substituted with one or two R_2 , alkenyl optionally substituted with one or two R_2 , alkynyl optionally substituted with one or two R_2 , saturated or partially saturated heteroaryl optionally substituted with one or two R_2 ;

15 R_5 is H, C1 –C6 alkyl, C5 – C6 cycloalkyl, or $\text{CHR}_3\text{OCOC1-C6alkyl}$;

R_1 is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, $-\text{S}(\text{O})_p$ optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-\text{CONR}_6\text{R}_7$, $-\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkoxyalkyl, optionally

substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 –C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C1-C6 alkyl, C5 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6

alkyl heteroaryl, R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms such as N- R_1 , O, S=(O) $_n$ $n = 0-2$;

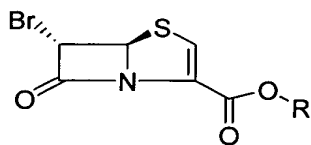
said process comprising

- 5 (a) condensing an appropriately substituted aldehyde **17**



17

wherein A' is defined as A or B whichever one of A or B is not hydrogen,
with 6-bromo-penem derivative of structure **16**

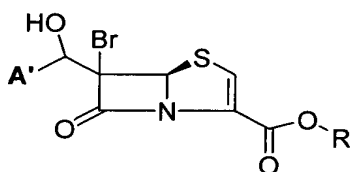


16

10

wherein R is p-nitrobenzyl

in the presence of a Lewis acid and a mild base, at low temperature to form an intermediate aldol product **18**

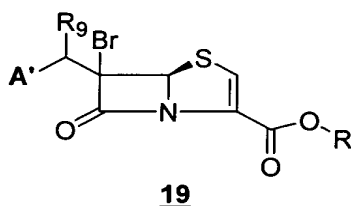


18

15

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, $(R_8)_2CO$ or $(R_8)_2O$, or with tetrahalomethane, $C(X_1)_4$, and triphenyl phosphine, to form intermediate compound **19**

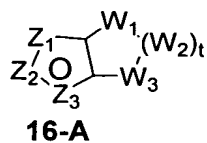


wherein R_8 is alkylSO₂, arylSO₂, alkylCO, or arylCO; X_1 is Br, I, or Cl; A' and R are as defined above; and R_9 is X_1 or OR₈; and

(c) converting the intermediate compound **19** to the desired formula **I** compound by a reductive elimination process.

2. The process according to claim 1 wherein the Lewis acid is anhydrous magnesium halide.
3. The process according to claim 2 wherein the Lewis acid is anhydrous MgBr₂.
- 10 4. The process according to claim 1 wherein the mild base is triethylamine, DMAP or diisopropyl ethyl amine.
5. The process according to claim 1 wherein the low temperature is about -20°C to -40°C.
- 15 6. The process according to claim 1 wherein intermediate compound **19** is an acetate, triflate or a tosylate.
7. The process according to claim 1 wherein step (c) is carried out at a mild temperature.
8. The process according to claim 7 wherein the mild temperature is about 20°C to 35°C.
- 20 9. The process according to claim 1 wherein the reductive elimination process is carried out using activated zinc and a phosphate buffer at a pH of about 6.5 to 8.0 or hydrogenating over a catalyst.
10. The process according to claim 9 wherein the hydrogenating over a catalyst is carried out using palladium on charcoal.
- 25 11. The process according to claim 1 wherein A or B is a fused tricyclic heteroaryl group or a fused bicyclic heteroaryl group.
12. The process according to claim 11 wherein the A or B is a fused bicyclic heteroaryl group.
13. The process according to claim 12 wherein the fused bicyclic heteroaryl group has

the structural formula



5 wherein Z1, Z2, and Z3 are independently CR₂, N, O, S or N-R₁ provided one of Z1, Z2, or Z3 is carbon and is bonded to the remainder of the molecule as shown in formula I;

W₁, W₂ and W₃ are independently CR₄R₄, S, SO, SO₂, O, N-R₁, C=O; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;

t= 1 to 4;

R₁ is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, -S(O)_p optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally

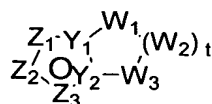
substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl;

5 R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, 10 alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1- 20 C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

25 R_4 is H, optionally substituted C1-C6 alkyl, one of R_4 is OH, C1-C6 alkoxy, $-S-C1-C6$ alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be $=O$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $S=(O)_n$ (where $n=0$ to 2), $N-R_1$; and

30 R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms such as $N-R_1$, O, $S=(O)_n$ $n=0-2$.

14. The process according to claim 12 wherein the fused bicyclic heteroaryl group has the structural formula



16-B

5 wherein

Z1, Z2 and Z3 are independently CR₂, N, O, S or N-R₁ provided one of Z1 –Z3 is carbon and is bonded to the remainder of the molecule;

W₁, W₂ and W₃ are independently CR₄R₄, S, SO, SO₂, O, or N-R₁;

t= 1 to 4;

10 Y₁ and Y₂ are independently N or C; with the proviso that if the aromatic ring portion of the bicyclic heteroaryl group is imidazole, the nonaromatic ring portion may not contain a S adjacent to the bridgehead carbon;

R₁ is H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted

15 C5-C7 cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C3-C6 alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C1-C6 perfluoroalkyl,

-S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted

20 -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O(C5-C6)cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic

25 saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl,

optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

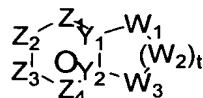
- 5 R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted
- 10 C3 -C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ - optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted
- 15 heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl
- 20 aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

- R_4 is H, optionally substituted C1-C6 alkyl, one of R_4 is OH, C1-C6 alkoxy, $-S-C1-C6$
- 25 alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be $=O$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, $S=(O)_n$ (where $n = 0$ to 2), and $N-R_1$; and

- 30 R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6

alkylheteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N, O, or S.

- 5 15. The process according to claim 12 wherein the fused bicyclic heteroaryl group is



16-C

wherein

- 10 Z1, Z2, Z3 and Z4 are independently CR_2 or N provided one of Z1 –Z4 is carbon and is bonded to the remainder of the molecule;
- W_1 , W_2 and W_3 are independently CR_4R_4 , S, SO, SO_2 , O, or $N-R_1$; with the proviso that no S-S or O-O or S-O bond formation can occur to form the saturated ring system;
- $t = 1$ to 4;
- Y_1 and Y_2 are independently C or N;
- 15 R_1 is H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted C5-C7 cycloalkyl, optionally substituted C3-C6 alkenyl, optionally substituted C3-C6 alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted C1-C6
- 20 perfluoroalkyl,
- S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C5-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally
- 25 substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted

aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted
 5 aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆,
 10 optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3 -C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇,
 15 guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted
 20 substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

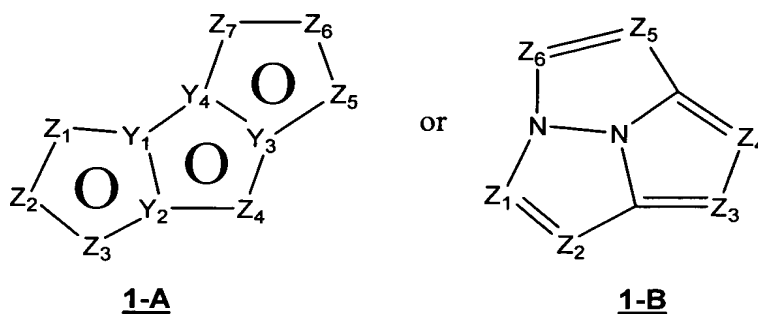
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R₄ is H, optionally substituted C1-C6 alkyl, one of R₄ is OH, C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇ ; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected from N, O, S=(O)_n (where n =0 to
 30 2), and N-R₁; and

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkylaryl, optionally

substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkylheteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N, O, or S.

- 5 16. The process according to claim 12 wherein the fused tricyclic heteroaryl group has the formula



wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 and Z_7 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_7$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O alkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl,

optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

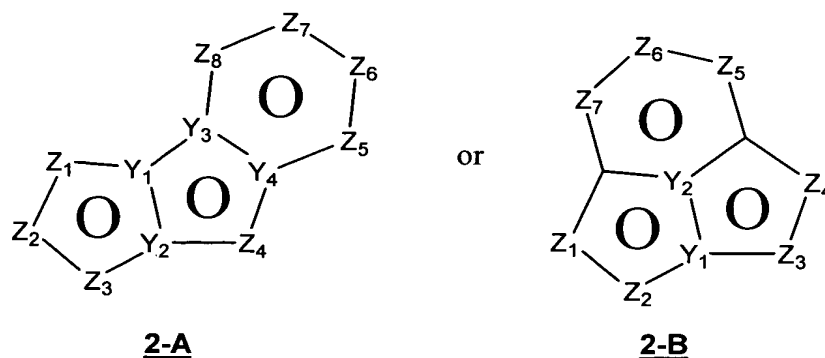
R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl,

5 optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6
10 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-
15 C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl,
20 optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl,
25 optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ may independently be C or N.

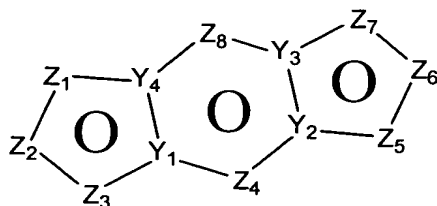
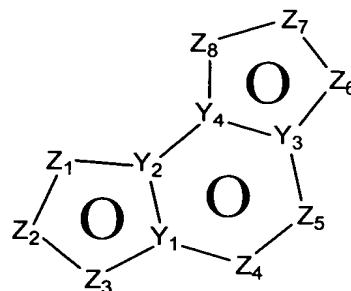
30 17. The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 , Z_7 and Z_8 are independently CR_2 , N, O, S or $N-R_1$, provided one of the $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

- 5 R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or
 10 cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;
 15
- 20 R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms
 25 selected from $N-R_1$, O, and $S(O)_n$ $n = 0-2$; and
- Y_1 , Y_2 , Y_3 and Y_4 are independently C or N.
18. The process according to claim 12 wherein the tricyclic heteroaryl group is

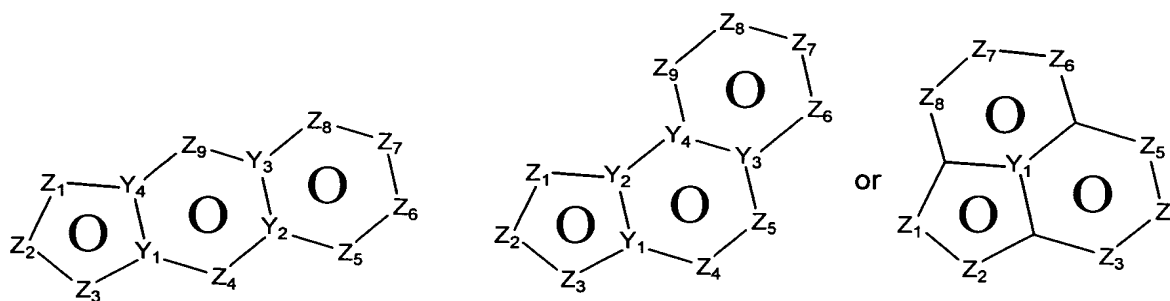
**3-A****3-B**

wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 , Z_7 and Z_8 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

- 5 R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,
- 10 $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;
- 20

- R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;
- R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N- R_1 , O, and $S(O)_n$ $n = 0-2$; and
- Y_1 , Y_2 , Y_3 and Y_4 may be C or N.

19. The process according to claim 12 wherein the tricyclic heteroaryl group is

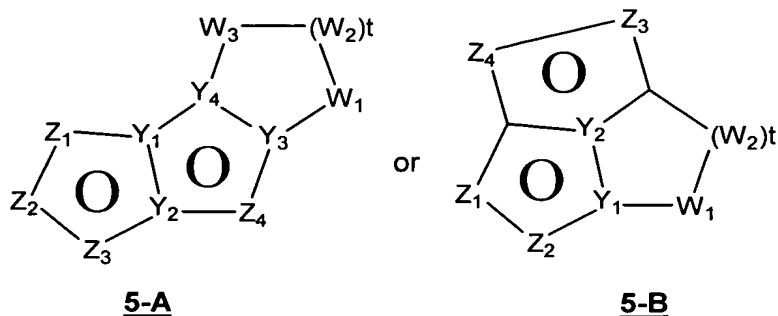
**4-A****4-B****4-C**

wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 , Z_7 , Z_8 and Z_9 are independently CR_2 , N, O, S or $N-R_1$ provided one of the $Z_1 - Z_9$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

- 5 R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or
 10 cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted
 15 aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;
- 20 R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms
 25 selected from N- R_1 , O, and $S(O)_n$ $n = 0-2$; and
- Y_1 , Y_2 , Y_3 and Y_4 are independently C or N.

20. The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 , Z_3 and Z_4 are independently CR_2 , N, O, S or $N-R_1$ provided one of Z_1 - Z_4 is a carbon atom to which the remainder of the molecule is attached;

5 Y_1 , Y_2 , Y_3 and Y_4 are independently C or N;

W_1 , W_2 and W_3 are independently CR_4R_4 , $S(O)_r$ ($r = 0-2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted

10 cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted

15 $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted

20 arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted

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alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

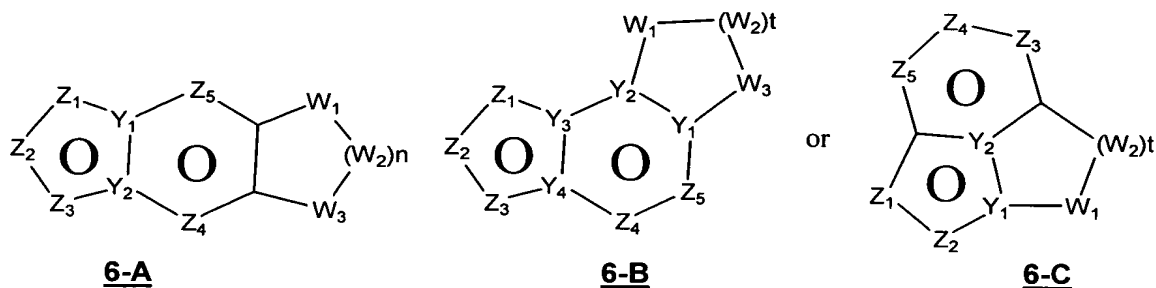
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, $-S-C1-C6$ alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be $=O$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $S(O)_n$ (where $n = 0$ to 2), $N-R_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from $N-R_1$, O, and $S(O)_n$ $n = 0-2$; and

$t = 1$ to 3.

21. The process according to claim 12 wherein the tricyclic heteroaryl group is



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wherein Z_1 , Z_2 , Z_3 , Z_4 and Z_5 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_5$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_2 are independently C or N;

10 W_1 , W_2 and W_3 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be

15 present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted

20 substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally

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substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

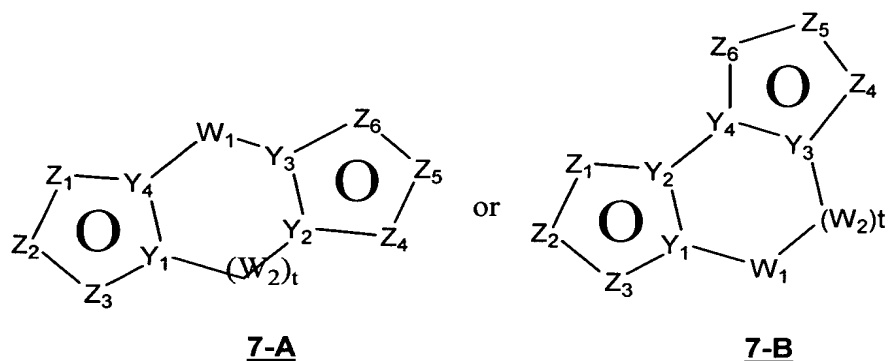
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, $-S-C1-C6$ alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be $=O$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $S(O)_n$ (where $n = 0$ to 2), $N-R_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from $N-R_1$, O, and $S(O)_n$ $n = 0-2$; and

$t = 1$ to 3.

22. The process according to claim 12 wherein the tricyclic heteroaryl group is



5 wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 and Z_6 are independently CR_2 , N, O, S, and N- R_1 ; provided one of $Z_1 - Z_6$ is a carbon atom to which the remainder of the molecule is attached; Y_1 , Y_2 , Y_3 and Y_4 are independently C or N;

W_1 and W_2 are independently CR_4R_4 , $S(O)r$ ($r = 0-2$), O , $N-R_1$ with the proviso that

no S-S, S-O or O-O bond formation can occur to form a saturated ring; R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O alkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted

alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

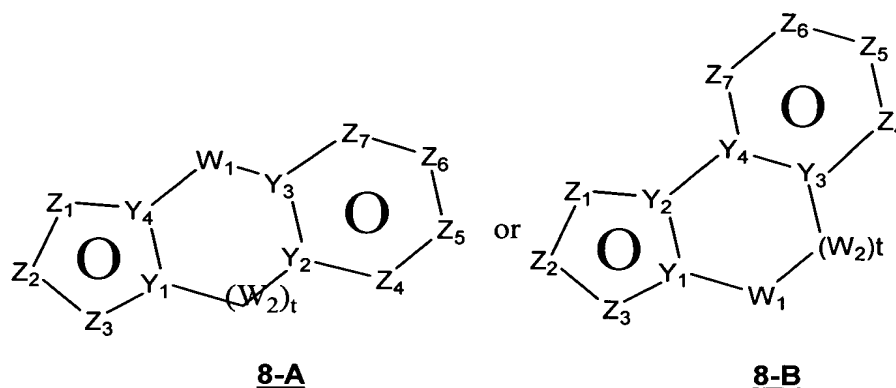
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, $-S-C1-C6$ alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be $=O$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $S(O)_n$ (where $n = 0$ to 2), $N-R_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from $N-R_1$, O, and $S(O)_n$ $n = 0-2$; and

$t = 1$ to 3.

23. The process according to claim 12 wherein the tricyclic heteroaryl group is



5 wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 and Z_7 are independently CR_2 , N, O, S or $N-R_1$ provided one of the $Z_1 - Z_7$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 , Y_2 , Y_3 and Y_4 are independently C or N;

W_1 and W_2 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the proviso that

no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H,

10 optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,

15 $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl,

20 optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-

C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted

arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally

substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally

substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally

25 substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally

substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl,

optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted

alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

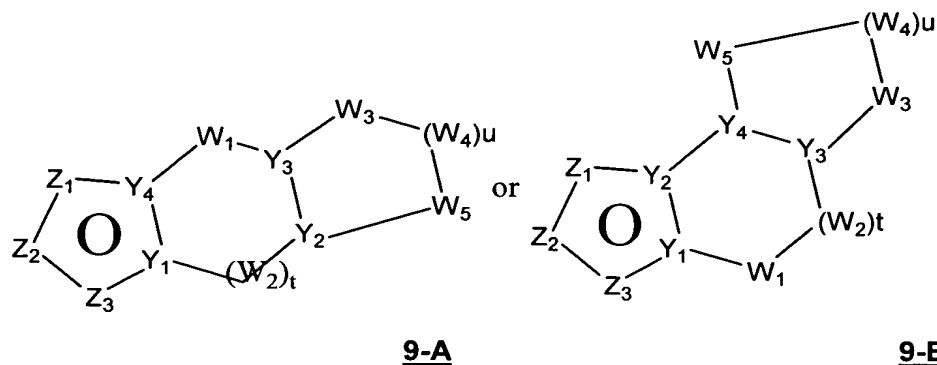
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, $-S-C1-C6$ alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be $=O$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $S(O)_n$ (where $n = 0$ to 2), $N-R_1$;

R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from $N-R_1$, O, and $S(O)_n$ $n = 0-2$; and

$t = 0-3$.

24. The process according to claim 12 wherein the tricyclic heteroaryl group is



5 wherein Z_1 , Z_2 and Z_3 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_3$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 and Y_4 are independently C or N;

Y_2 and Y_3 are independently CH or N;

10 W_1 , W_2 , W_3 , W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be

15 present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl,

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optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

- 5 R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylendioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;
- 10 R_4 is H, optionally substituted C1-C6 alkyl, OH (provided both R_4 are not OH), C1-C6 alkoxy, $-S-C1-C6$ alkyl, $COOR_6$, $-NR_6R_7$, $-CONR_6R_7$; or R_4R_4 may together be $=O$ or R_4R_4 together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, $S(O)_n$ (where $n = 0$ to 2), $N-R_1$;
- 15 R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R_6 and R_7 can be together to form a 3-7
- 20
- 25
- 30

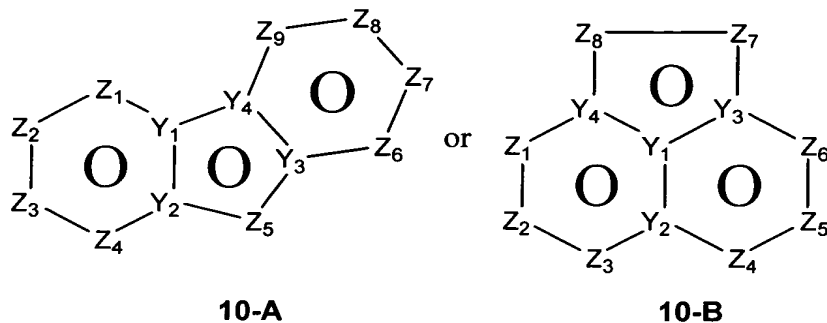
membered saturated ring system optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2;

t = 0 to 2; and

u = 1 to 3.

5

25. The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z₁, Z₂, Z₃, Z₄, Z₅, Z₆, Z₇, Z₈ and Z₉ are independently CR₂, N, O, S or N-R₁ provided one of the Z₁ – Z₉ is a carbon atom to which the remainder of the molecule is attached;

R₁ is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=Oheteroaryl, optionally substituted -C=Oaryl, optionally substituted -C=Oalkyl, optionally substituted -C=Ocycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl,

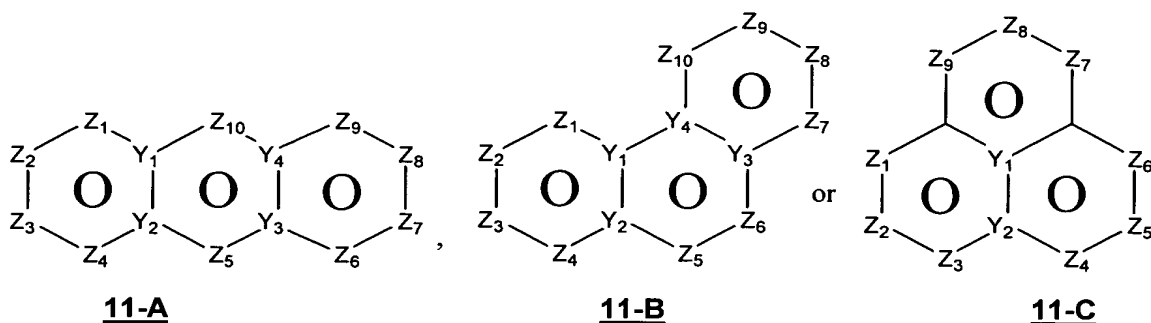
optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are independently C or N.

26. The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein $Z_1, Z_2, Z_3, Z_4, Z_5, Z_6, Z_7, Z_8, Z_9$ and Z_{10} are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_{10}$ is a carbon atom to which the remainder of the molecule is attached;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

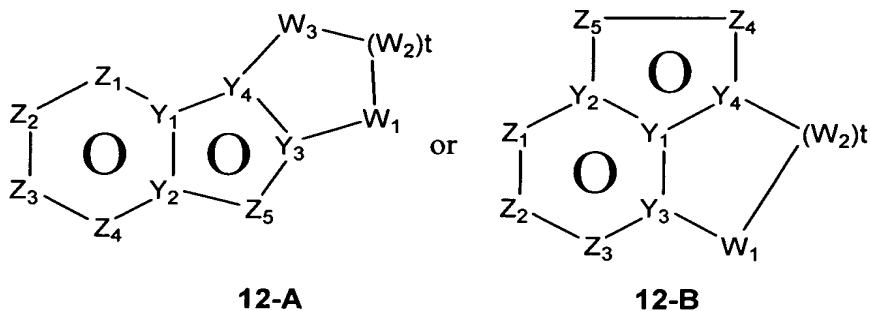
R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally

substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6
 5 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated
 10 heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6
 15 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally
 20 substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

Y₁, Y₂, Y₃ and Y₄ are independently C or N.

27. The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 , Z_3 , Z_4 and Z_5 are independently CR_2 , N, O, S or $N-R_1$ provided that one of $Z_1 - Z_5$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 , Y_2 , Y_3 and Y_4 are independently C or N;

W_1 , W_2 , W_3 are independently CR_4R_4 O, $N-R_1$, or $S(=O)_r$ ($r = 0-2$) with the proviso that

no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H,

optionally substituted alkyl, optionally substituted aryl, optionally substituted

heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted

cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the

proviso that neither the double bond nor the triple bond should be present at the

carbon atom which is directly linked to N; optionally substituted perfluoroalkyl,

$-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted

$-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted

$-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$

mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl,

optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-

C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-

C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted

arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally

substituted arylalkyloxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally

substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally

substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally

substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl,

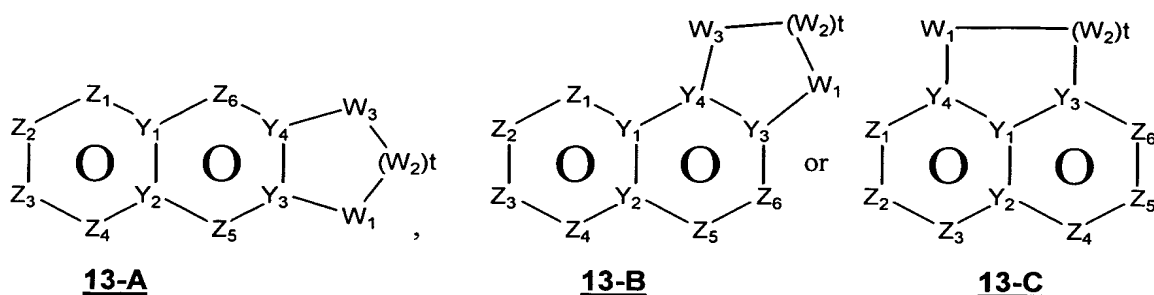
optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted

alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally

substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

- R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;
- R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n =0 to 2), N-R₁;
- R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and
- t =1-4.

28. The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 and Z_6 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_6$ is a carbon atom to which the remainder of the molecule is attached;

5 Y_1 , Y_2 , Y_3 and Y_4 are independently C or N;

W_1 , W_2 and W_3 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, -S(O)_p optionally substituted alkyl or aryl where p is 0-2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O alkyl, optionally substituted -C=O cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, -CONR₆R₇, -SO₂NR₆R₇, optionally substituted arylalkoxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxycarbonyl, or optionally substituted heteroaryloxy carbonyl;

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally

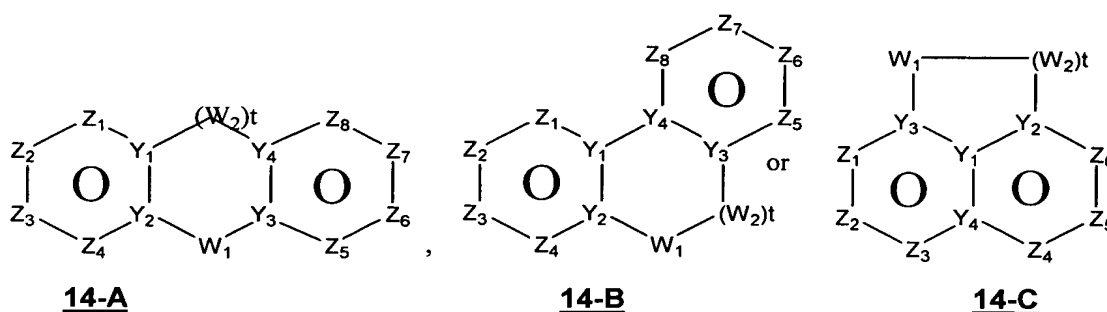
substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2; and

t = 1 to 3.

29. The process according to claim 12 wherein the tricyclic heteroaryl group is



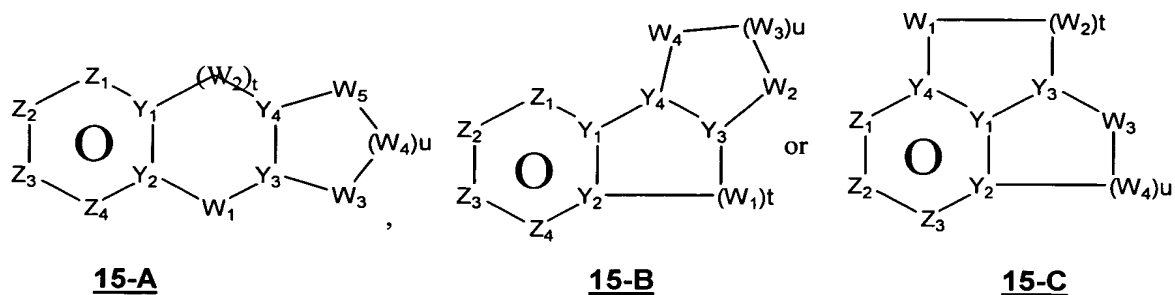
wherein Z_1 , Z_2 , Z_3 , Z_4 , Z_5 , Z_6 , Z_7 and Z_8 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_8$ is a carbon atom to which the remainder of the molecule is attached;

Y_1 , Y_2 , Y_3 and Y_4 are independently C or N;

W_1 , and W_2 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring; R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$ mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

- R₂ is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl,
 optionally substituted C2-C6 alkynyl, halogen, cyano, N-R₆R₇, optionally
 substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally
 substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines,
 5 optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally
 substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6
 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6
 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl,
 S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or
 10 cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl,
 optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-
 C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated
 heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms,
 SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted
 15 aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted
 aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl,
 optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6
 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted
 heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;
 20 R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6
 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be
 =O or R₄R₄ together with the carbon to which they are attached may form a spiro
 system of five to eight members with or without the presence of heteroatoms
 selected N, O, S(O)_n (where n = 0 to 2), N-R₁;
 25 R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted
 aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl,
 optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally
 substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to form a 3-7
 membered saturated ring system optionally having one or two heteroatoms
 30 selected from N-R₁, O, and S(O)_n n = 0-2; and
 t = 1 to 2.

30. The process according to claim 12 wherein the tricyclic heteroaryl group is



wherein Z_1 , Z_2 , Z_3 and Z_4 are independently CR_2 , N, O, S or $N-R_1$ provided one of $Z_1 - Z_4$ is a carbon atom to which the remainder of the molecule is attached;

5 Y_1 , Y_2 , Y_3 and Y_4 are independently C or N;

W_1 , W_2 , W_3 , W_4 and W_5 are independently CR_4R_4 , $S(O)_r$ ($r = 0 - 2$), O, or $N-R_1$ with the proviso that no S-S, S-O or O-O bond formation can occur to form a saturated ring;

R_1 is H, optionally substituted alkyl, optionally substituted aryl, optionally substituted heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted

10 cycloalkyl, optionally substituted alkenyl, optionally substituted alkynyl with the proviso that neither the double bond nor the triple bond should be present at the carbon atom which is directly linked to N; optionally substituted perfluoroalkyl, $-S(O)_p$ optionally substituted alkyl or aryl where p is 0-2, optionally substituted $-C=O$ heteroaryl, optionally substituted $-C=O$ aryl, optionally substituted $-C=O$ alkyl, optionally substituted $-C=O$ cycloalkyl, optionally substituted $-C=O$

15 mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkylaryl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted aryl-C1-C6alkyl, optionally substituted heteroaryl-C1-C6alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted

20 arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkoxyalkyl, optionally substituted $-alkyl-O-alkyl-aryl$, optionally substituted $-alkyl-O-alkyl-heteroaryl$, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6alkylaryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted alkylaryloxyalkylamines, optionally substituted alkoxycarbonyl, optionally substituted aryloxy carbonyl, or optionally substituted heteroaryloxy carbonyl;

25

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl, optionally substituted C2-C6 alkynyl, halogen, cyano, $N-R_6R_7$, optionally

substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkylaryloxyalkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylenedioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q-optionally substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally substituted alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, or optionally substituted alkylaryloxyalkylamine;

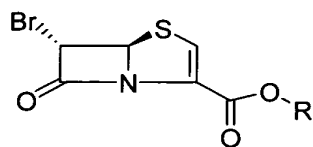
R₄ is H, optionally substituted C1-C6 alkyl, OH (provided both R₄ are not OH), C1-C6 alkoxy, -S-C1-C6 alkyl, COOR₆, -NR₆R₇, -CONR₆R₇; or R₄R₄ may together be =O or R₄R₄ together with the carbon to which they are attached may form a spiro system of five to eight members with or without the presence of heteroatoms selected N, O, S(O)_n (where n = 0 to 2), N-R₁;

R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, or R₆ and R₇ can be together to form a 3-7 membered saturated ring system optionally having one or two heteroatoms selected from N-R₁, O, and S(O)_n n = 0-2;

t = 1 to 3; and

u = 1 to 3.

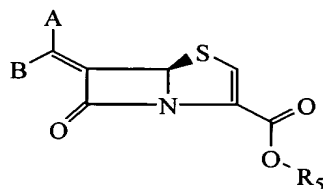
31. The 6-bromo-penem derivative of structure **16**



16

5 wherein R is p-nitrobenzyl.

32. A process for the preparation of compound of formula **I**



I

10 wherein

one of A and B denotes hydrogen and the other is aryl optionally substituted with one or two R_2 , heteroaryl optionally substituted with one or two R_2 , a fused bicyclic heteroaryl optionally substituted with one or two R_2 , fused tricyclic heteroaryl optionally substituted with one or two R_2 , cycloalkyl optionally substituted with one or two R_2 , alkyl optionally substituted with one or two R_2 , alkenyl optionally substituted with one or two R_2 , alkynyl optionally substituted with one or two R_2 , saturated or partially saturated heteroaryl optionally substituted with one or two R_2 ;

20 R_5 is H, an in vivo hydrolyzable ester selected from the group C1 –C6 alkyl, C5 – C6 cycloalkyl, $\text{CHR}_3\text{OCOC1-C6}$ or a salt selected from the group consisting of Na, K, and Ca;

25 R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, $\text{N-R}_6\text{R}_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally

substituted aryl, optionally substituted heteroaryl, COOR₆, optionally substituted alkyl
 aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy,
 optionally substituted C3-C6 alkenyloxy, optionally substituted C3 –C6 alkynyloxy, C1-C6
 5 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl
 amine, C1-C6 perfluoro alkyl, S(O)_q-optionally substituted C1-C6 alkyl, S(O)_q- optionally
 substituted aryl where q is 0, 1 or 2, CONR₆R₇, guanidino or cyclic guanidino, optionally
 substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6
 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-
 10 C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8
 to 16 carbon atoms, SO₂NR₆R₇, optionally substituted arylalkyloxyalkyl, optionally
 substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted
 aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl,
 optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6
 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted
 15 heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

R₃ is hydrogen, C1-C6 alkyl, C3 – C6 cycloalkyl, optionally substituted aryl, optionally
 substituted heteroaryl;

20 R₆ and R₇ are independently H, optionally substituted C1-C6 alkyl, optionally substituted
 aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally
 substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6
 alkyl heteroaryl, R₆ and R₇ can be taken together to form a 3-7 membered saturated ring
 system optionally having one or two heteroatoms such as N-R₁, O, S=(O)_n n = 0-2;

25 which process comprises the following steps:

(a) dissolving 6-aminopenicillanic acid in an organic solvent and water to form the 6-
 bromo derivative 21

30 and converting the 6-bromopenicillanic acid 21 derivative to the p-Nitrobenzyl 6-
 brompenicillanate 22

using 4-nitrobenzylbromide in the presence of base in an organic solvent;

(b) oxidizing the 4-nitrobenzyl 6-bromopenicillanate 22 to form 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23

5

(c) refluxing the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 with 2-mercaptobenzothiazole in an aromatic solvent to form 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24

10 (d) dissolving the 4-nitrobenzyl(2R)-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-3-enoate 24 in an organic solvent and reacting with an organic tertiary base to form 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25

15 (e) converting the 4-nitrobenzyl-2-[(3S,4R)-4-(benzothiazol-2-ylidithio)-3-bromo-2-oxoazetidine-1-yl]-3-methylbut-2-enoate 25 to 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 by reacting in an aromatic organic solvent in the presence of an organic acid, acetic anhydride/ organic tertiary base and trialkyl or triaryl phosphine at about -10°C to -30°C ;

20

(f) said 4-nitrobenzyl 2-[(3S,4R)-3-bromo-4-formylthio-2-oxoazetidin-1-yl]-3-methylbut-2-enoate 26 being taken up in an organic solvent at -70°C to -90°C and ozonized oxygen being passed through it for at least 3 hours followed by intramolecular cyclization using a phosphite reagent to form 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate

25

16.

(g) converting said 4-nitrobenzyl (5R,6S)-6-bromopenem-3-carboxylate 16 to the desired formula I product as described in claim 1.

30 33. The process according to claim 32 wherein the 6-aminopenicillanic acid is dissolved in methanol or THF.

34. The process according to claim 32 wherein step (a) is performed in the presence of 48% w/w hydrobromic acid and sodium or potassium nitrite solution.

35. The process according to claim 34 wherein step (a) is performed at -10°C to -30°C .

36. The process according to claim 32 wherein the base in step (a) is sodium or potassium carbonate and the organic solvent is THF or DMF.

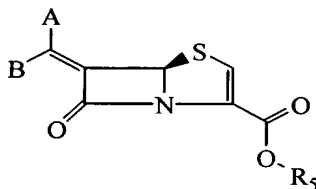
5 37. The process according to claim 32 wherein the aromatic solvent in step (c) is toluene or xylene.

38. The process according to claim 32 comprising the sequential conversion of compound 23 to 26 wherein there is no isolation of the intermediates.

10 39. The process according to claim 38 wherein the 4-nitrobenzyl 6-bromopenicillanate 1-oxide 23 is reacted with mercaptobenzothiazole in refluxing aromatic organic solvent and is treated with triethylamine at about 0 to -20°C to form a reaction mixture; said reaction mixture is charged with an organic acid and an anhydride, an organic tertiary base and a trialkyl or triaryl phosphate sequentially at about -10°C to -40°C .

15 40. The process according to claim 32 wherein step (g) is carried out without isolating the aldol intermediate.

41. A process for the preparation of compounds of the formula I



I

20 wherein:

one of A and B denotes hydrogen and the other is an aryl optionally substituted with one or two R_2 , heteroaryl optionally substituted with one or two R_2 , fused bicyclic heteroaryl optionally substituted with one or two R_2 , fused tricyclic heteroaryl optionally substituted with one or two R_2 , cycloalkyl optionally substituted with one or two R_2 , alkyl optionally substituted with one or two R_2 , alkenyl optionally substituted with one or two R_2 , alkynyl optionally substituted with one or two R_2 , saturated or partially saturated heteroaryl optionally substituted with one or two R_2 ;

25

R_5 is H, C1 –C6 alkyl, C5 – C6 cycloalkyl, or $\text{CHR}_3\text{OCOC1-C6alkyl}$;

R_1 is H, optionally substituted -C1-C6 alkyl, optionally substituted -aryl, optionally substituted -heteroaryl or mono or bicyclic saturated heterocycles, optionally substituted -C3-C7 cycloalkyl, optionally substituted -C3-C6 alkenyl, optionally substituted -C3-C6 alkynyl with the proviso that both the double bond and the triple bond should not be present at the carbon atom which is directly linked to N; optionally substituted -C1-C6 per fluoro alkyl, $-S(O)_p$, optionally substituted alkyl or aryl where p is 2, optionally substituted -C=O heteroaryl, optionally substituted -C=O aryl, optionally substituted -C=O (C1-C6) alkyl, optionally substituted -C=O (C3-C6) cycloalkyl, optionally substituted -C=O mono or bicyclic saturated heterocycles, optionally substituted C1-C6 alkyl aryl, optionally substituted C1-C6 alkyl heteroaryl, optionally substituted aryl-C1-C6 alkyl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8 to 16 carbon atoms, $-CONR_6R_7$, $-SO_2NR_6R_7$, optionally substituted arylalkyloxyalkyl, optionally substituted -alkyl-O-alkyl-aryl, optionally substituted -alkyl-O-alkyl-heteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, optionally substituted C1-C6 alkyl aryloxyaryl, optionally substituted C1-C6 alkyl aryloxyheteroaryl, optionally substituted alkyl aryloxy alkylamines, optionally substituted alkoxy carbonyl, optionally substituted aryloxy carbonyl, optionally substituted heteroaryloxy carbonyl.

R_2 is hydrogen, optionally substituted C1-C6 alkyl, optionally substituted C2-C6 alkenyl having 1 to 2 double bonds, optionally substituted C2-C6 alkynyl having 1 to 2 triple bonds, halogen, cyano, $N-R_6R_7$, optionally substituted C1-C6 alkoxy, hydroxy; optionally substituted aryl, optionally substituted heteroaryl, $COOR_6$, optionally substituted alkyl aryloxy alkylamines, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted C3-C6 alkenyloxy, optionally substituted C3-C6 alkynyloxy, C1-C6 alkylamino-C1-C6 alkoxy, alkylene dioxy, optionally substituted aryloxy-C1-C6 alkyl amine, C1-C6 perfluoro alkyl, $S(O)_q$ -optionally substituted C1-C6 alkyl, $S(O)_q$ -optionally substituted aryl where q is 0, 1 or 2, $CONR_6R_7$, guanidino or cyclic guanidino, optionally substituted C1-C6 alkylaryl, optionally substituted arylalkyl, optionally substituted C1-C6 alkylheteroaryl, optionally substituted heteroaryl-C1-C6 alkyl, optionally substituted C1-C6 alkyl mono or bicyclic saturated heterocycles, optionally substituted arylalkenyl of 8

to 16 carbon atoms, $\text{SO}_2\text{NR}_6\text{R}_7$, optionally substituted arylalkyloxyalkyl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted aryloxyaryl, optionally substituted aryloxyheteroaryl, substituted heteroaryloxyaryl, optionally substituted C1-C6alkyl aryloxyaryl, optionally substituted C1-C6
 5 alkylaryloxyheteroaryl, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted alkylaryloxyalkylamines;

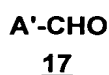
R_3 is hydrogen, C1-C6 alkyl, C5 – C6 cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl;

10

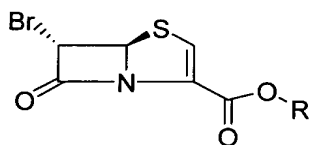
R_6 and R_7 are independently H, optionally substituted C1-C6 alkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted C1-C6 alkyl aryl, optionally substituted arylalkyl, optionally substituted heteroarylalkyl, optionally substituted C1-C6 alkyl heteroaryl, R_6 and R_7 can be together to form a 3-7 membered saturated ring
 15 system optionally having one or two heteroatoms such as N- R_1 , O, $\text{S}=\text{O}$, $n = 0-2$;

said process comprising

(b) condensing an appropriately substituted aldehyde 17



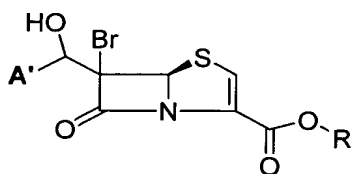
20 wherein A' is defined as A or B whichever one of A or B is not hydrogen, with 6-bromo-penem derivative of structure 16



16

wherein R is a protecting group;

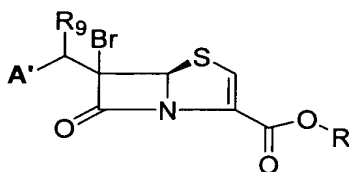
25 in the presence of a Lewis acid and a mild base, at low temperature to form an intermediate aldol product 18

**18**

wherein A' and R are as defined above;

(b) reacting intermediate **18** with an acid chloride or anhydride, $(R_8)Cl$ or $(R_8)_2O$, or with tetrahalomethane, $C(X_1)_4$, and triphenyl phosphine, to form intermediate compound **19**

5

**19**

wherein R_8 is $alkylSO_2$, $arylSO_2$, $alkylCO$, or $arylCO$; X_1 is Br, I, or Cl; A' and R are as defined above; and R_9 is X_1 or OR_8 ; and

(c) converting the intermediate compound **19** by a reductive elimination process; and if

10 R is other than p-nitrobenzyl, deprotecting the R group; to form the desired formula **I** compound.

42. The process according to claim 41 wherein R is p-nitrobenzyl, benzyl, para-methoxy benzyl, benzhydrol, or trityl.